2/3/05

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	JAN 26	CA/CAPLUS - Expanded patent coverage to include the Russian Agency for Patents and Trademarks (ROSPATENT)

NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

2/3/05

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:33:44 ON 31 JAN 2005

=> file registry
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:33:54 ON 31 JAN 2005
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 30 JAN 2005 HIGHEST RN 823177-37-3
DICTIONARY FILE UPDATES: 30 JAN 2005 HIGHEST RN 823177-37-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

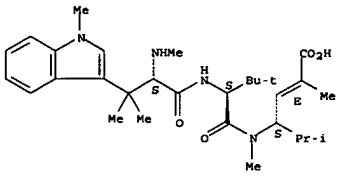
=> s hemiasterlin/cn
L1 1 HEMIASTERLIN/CN

=> d

2/3/05

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN 157207-90-4 REGISTRY
CN L-Valinamide, N,β,β,1-tetramethyl-L-tryptophyl-N-[(1S,2E)-3-carboxy-1-(1-methylethyl)-2-butenyl]-N,3-dimethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN L-Valinamide, N,β,β,1-tetramethyl-L-tryptophyl-N-[3-carboxy-1-(1-methylethyl)-2-butenyl]-N,3-dimethyl-, [S-(E)]-
OTHER NAMES:
CN (-)-Hemiasterlin
CN **Hemiasterlin**
CN Milnamide B
FS STEREOSEARCH
MF C30 H46 N4 O4
SR CA
LC STN Files: AGRICOLA, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL
DT.CA CAplus document type: Dissertation; Journal; Patent
RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); MSC (Miscellaneous); OCCU (Occurrence); PREP (Preparation); PRP (Properties); RACT (Reactant or reagent); USES (Uses)
RLD.NP Roles for non-specific derivatives from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

31 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
31 REFERENCES IN FILE CAPLUS (1907 TO DATE)

2/3/05

```
=> logoff y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     6.87       7.08

STN INTERNATIONAL LOGOFF AT 11:34:47 ON 31 JAN 2005


Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:ssspta1612rxd

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  SEP 01   New pricing for the Save Answers for SciFinder Wizard within
                   STN Express with Discover!
 NEWS  4  OCT 28   KOREAPAT now available on STN
 NEWS  5  NOV 30   PHAR reloaded with additional data
 NEWS  6  DEC 01   LISA now available on STN
 NEWS  7  DEC 09   12 databases to be removed from STN on December 31, 2004
 NEWS  8  DEC 15   MEDLINE update schedule for December 2004
 NEWS  9  DEC 17   ELCOM reloaded; updating to resume; current-awareness
                   alerts (SDIs) affected
 NEWS  10 DEC 17   COMPUAB reloaded; updating to resume; current-awareness
                   alerts (SDIs) affected
 NEWS  11 DEC 17   SOLIDSTATE reloaded; updating to resume; current-awareness
                   alerts (SDIs) affected
 NEWS  12 DEC 17   CERAB reloaded; updating to resume; current-awareness
                   alerts (SDIs) affected
 NEWS  13 DEC 17   THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
 NEWS  14 DEC 30   EPFULL: New patent full text database to be available on STN
 NEWS  15 DEC 30   CAPLUS - PATENT COVERAGE EXPANDED
 NEWS  16 JAN 03   No connect-hour charges in EPFULL during January and
                   February 2005
 NEWS  17 JAN 26   CA/CAPLUS - Expanded patent coverage to include the Russian
                   Agency for Patents and Trademarks (ROSPATENT)

 NEWS EXPRESS  JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
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NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 11:18:14 ON 03 FEB 2005

=>
=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:18:39 ON 03 FEB 2005
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STRUCTURE FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7
DICTIONARY FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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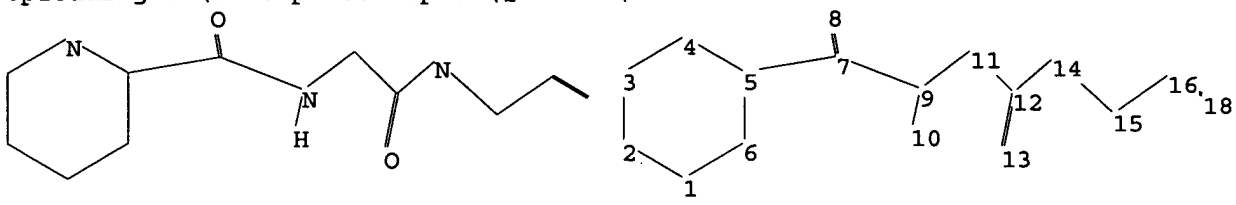
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>

2/3/05

Uploading C:\Stnexp4 corrupted\QUERIES\10667864.str

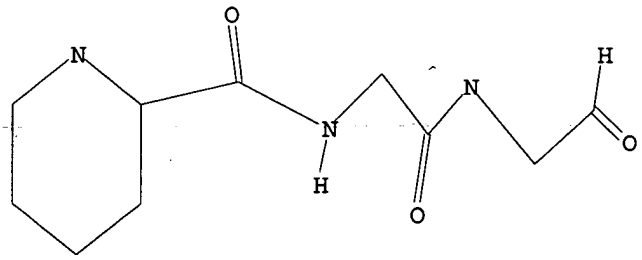


chain nodes :
7 8 9 10 11 12 13 14 15 16 18
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-9 9-10 9-11 11-12 12-13 12-14 14-15 15-16 16-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-9 9-11 12-13 12-14 14-15
exact bonds :
5-7 9-10 11-12 15-16 16-18
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 11:18:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 18597 TO ITERATE

2/3/05

```
  5.4% PROCESSED    1000 ITERATIONS                                  0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       363777 TO   380103
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 11:18:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 370581 TO ITERATE

100.0% PROCESSED  370581 ITERATIONS                                9 ANSWERS
SEARCH TIME: 00.00.05

L3            9 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             161.33     161.54

FILE 'CAPLUS' ENTERED AT 11:19:08 ON 03 FEB 2005
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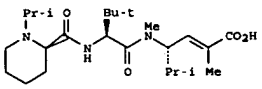
FILE COVERS 1907 - 3 Feb 2005  VOL 142 ISS 6
FILE LAST UPDATED: 2 Feb 2005  (20050202/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4            6 L3

=> d abs fbib hitstr 1-6
```

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q [R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R, where RC is H, OH, CORD, or R and RD is R; R3, R4 are H or R; R5, R6, R7 are H, CORE or R, where RE is H, OH, ORF, or R and RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(aryl), (hetero)alicyclic(heteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where RQ' and RQ'' are H or R or may combine as for R1-R4 or R5-R7 (with provisos)] or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemiasterlin derivative I, were prepared and assayed for inhibition of cell growth. Active compds. were evaluated in the reversibility, MDR, mouse serum stability, and other assays.
AN 2004:999664 CAPLUS
DN 141:395816
TI Preparation of hemiasterlin derivatives as antitumor agents
IN Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris M.; Spyvee, Mark; Yang, Hu
PA USA
SO U.S. Pat. Appl. Publ., 237 pp., Cont.-in-part of Appl. No. PCT/US03/08888.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004229819	A1	20041118	US 2003-667864	20030922
			US 2002-366592P P	20020322
			WO 2003-US8888 A2	20030321
WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002-366592P P 20020322

PATENT FAMILY INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FAN 2003:796473				
PI WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		

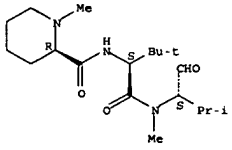
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002-366592P P 20020322

EP 1490054	A2	20041229	EP 2003-726101	20030321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
			US 2002-366592P	P 20020322
			WO 2003-US8888	W 20030321
US 2004229819	A1	20041118	US 2003-667864	20030922
			US 2002-366592P	P 20020322
			WO 2003-US8888	A2 20030321

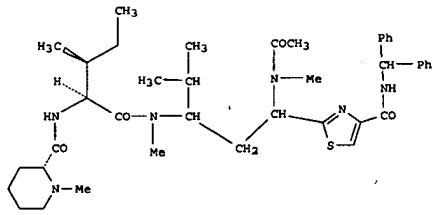
IT 610786-68-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hemiasterlin derivs. as antitumor agents)
RN 610786-68-0 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-1-[[[(1S)-1-formyl-2-methylpropyl]methylamino]carbonyl]-2,2-dimethylpropyl]-1-methyl-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Synthesis of title compds., e.g., (I), and preparation of reactants for these syntheses, for use in the treatment of autoimmune disease or tumors via their cytostatic effect (no data) was claimed. Thus, N-methyl-β-DL-valinolyl tert-butyldiphenylsilylether (II) was prepared in three steps from methylamine, isobutyraldehyde, and malonic acid. D-N-methyl-homo-prolyl-L-isoleucine (III) was also prepared in four steps from D-N-Boc-homoproline and L-isoleucine benzyl ester. II and III were coupled, the silyl protecting group removed, and the resulting alc. subjected to Swern oxidation to give an aldehyde intermediate, which was reacted with Me 3-dimethylamino-2-isocyanoacrylate, Me amine, and thioacetic acid; the resulting 1,3-thiazole-containing compound was deesterified and reacted with various amines or amino acids to give title product I.
AN 2004:41505 CAPLUS
DN 140:94300
TI Synthesis of tubulysin derivatives for therapeutic use in treatment of disease
IN Doemling, Alexander; Henkel, Bernd; Beck, Barbara; Illgen, Katrin; Sakamuri, Sukumar; Menon, Sanjay
PA Morphochem Aktiengesellschaft fur Kombinatorische Chemie, Germany
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004005327	A1	20040115	WO 2003-EP7419	20030709

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,

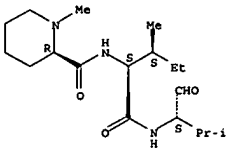
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
DE 2002-10230874 A 20020709
DE 2002-10252719 A 20021113

DE 10230874	A1	20040122	DE 2002-10230874	20020709
DE 10252719	A1	20040527	DE 2002-10252719	20021113

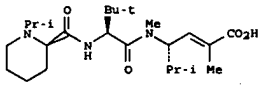
OS MARPAT 140:94300
IT **644960-92-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tubulysin derivs. for therapeutic use in treatment of disease)
RN 644960-92-9 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S,2S)-1-[[[(1S)-1-formyl-2-methylpropyl]amino]carbonyl]-2-methylbutyl]-1-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q [R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R; RC is H, OH, ORD, or R; RD is R; R3, R4 are H or R; R5, R6, R7 are H, CORE or R; RE is H, OH, ORF, or R; RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(aryl), (hetero)alicyclic(heteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where RQ' and RQ'' are H or R or may combine as for R1-R4 or R5-R7 (with provisos)] or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemiasterlin derivative I, were prepared and assayed for inhibition of cell growth. Active compds. (IC50 < 20 nM) were evaluated in the reversibility, MDR, and mouse serum stability assays.
AN 2003:796473 CAPLUS
DN 139:308008
TI Preparation of hemiasterlin derivatives as antitumor agents
IN Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris M.; Spyvee, Mark; Yang, Hu
PA Eisai Co. Ltd., Japan
SO PCT Int. Appl., 289 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002-366592P P 20020322
EP 2003-726101 20030321

EP 1490054	A2	20041229	EP 2003-726101	20030321

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2002-366592P P 20020322
WO 2003-US8888 W 20030321

US 2004229819	A1	20041118	US 2003-667864	20030922

US 2002-366592P P 20020322
WO 2003-US8888 A2 20030321

PATENT FAMILY INFORMATION:
FAN 2004:999664

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004229819	A1	20041118	US 2003-667864	20030922

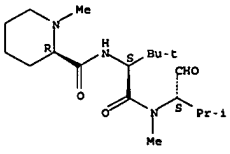
US 2002-366592P P 20020322
WO 2003-US8888 A2 20030321

WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002-366592P P 20020322

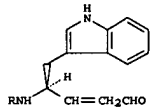
OS MARPAT 139:308008
IT **610786-68-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hemiasterlin derivs. as antitumor agents)
RN 610786-68-0 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-1-[[[(1S)-1-formyl-2-methylpropyl]methylamino]carbonyl]-2,2-dimethylpropyl]-1-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Application priority

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB The present invention relates to acylaminoaldehyde compds. of formula R4-Q-NHCHR1-X-CHO [Q = one or two amino acid residual groups which may be substituted; R1 = hydrogen atom or an optionally substituted hydrocarbon or heterocyclic group; R4 = an optionally esterified carboxyl group or an acyl group; X = a optionally substituted straight-chain or branched divalent hydrocarbon group having a chain length of 1 to 4 atoms as the linear moiety], or salts thereof, which have strong cysteine protease inhibitory activities and are useful as prophylactic and therapeutic agent of various diseases, including bone diseases, caused by abnormal exasperation of cystine protease, are prepared Thus, 2.4 g N-tert-butoxycarbonyl-L-phenylalanyl-L-tryptophanal and 1.76 g (formylmethylene)triphenylphosphorane were dissolved in 10 mL THF and 30 mL toluene and stirred for 15 h to give the title compound (I; R = Boc-Phe).
The latter compound and I (R = PhCH2O2C-Leu-Leu) (II) in vitro showed IC50 of 3.5 + 10-8 and 9.7 + 10-9 M, resp., against cathepsin L and that of 2.4 + 10-6 and 9.7 + 10-7 M, resp., against cathepsin B, resp. In a bone resorption inhibitory assay, they in vitro inhibited by 83 and 51%, resp., the Ca release from fetal rat's forearm bones. A gelatin capsule formulation containing II was described.
AN 1996:443908 CAPLUS
DN 125:115147
TI Preparation of peptide aldehyde derivatives as cysteine protease inhibitors
IN Sohda, Takashi; Fujisawa, Yukio; Yasuma, Tsuneo; Mizoguchi, Junji
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9610014	A1	19960404	WO 1995-JP1933	19950925

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

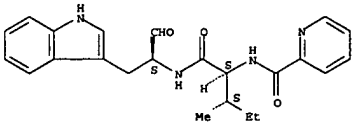
JP 1994-231839 A 19940927

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

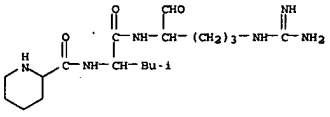
CA 2196182	AA	19960404	CA 1995-2196182		19950925
			JP 1994-231839	A	19940927
AU 9535341	A1	19960419	AU 1995-35341		19950925
			JP 1994-231839	A	19940927
			WO 1995-JP1933	W	19950925
JP 08151355	A2	19960611	JP 1995-245957		19950925
			JP 1994-231839	A1	19940927
EP 783489	A1	19970716	EP 1995-932228		19950925
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
			JP 1994-231839	A	19940927
			WO 1995-JP1933	W	19950925

OS MARPAT 125:115147
IT **178911-01-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide aldehyde derivs. as cysteine protease inhibitors and
bone resorption inhibitors for treating bone diseases)
RN 178911-01-8 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-[[[1-formyl-2-(1H-indol-3-yl)ethyl]amino]carbonyl]-2-methylbutyl]-, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

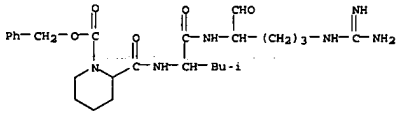
Absolute stereochemistry.



L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

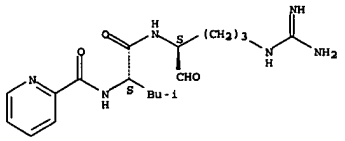


RN 114332-79-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[[[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AB Thirty analogs of leupeptin was synthesized and examined for their inhibitory activities against trypsin, papain, plasmin, kallikrein, thrombin, and urokinase in vitro. Relative to leupeptin, benzoyl- and α-naphthalenesulfonyl-L-leucyl-L-argininal were 8-fold more inhibitory to papain, benzyloxycarbonyl-L-pyroglutamyl-L-leucyl-L-argininal 10-fold more inhibitory to trypsin and plasmin, and DL-2-pipecolyl-L-leucyl-L-argininal 25-fold more inhibitory to kallikrein.
Against urokinase, only L-pyroglutamyl-L-leucyl-L-argininal exhibited a potent inhibitory activity. α-Naphthalenesulfonyl-, dansyl-, and benzyloxycarbonyl-(2S,3R)-3-amino-2-hydroxy-4-phenylbutyryl-L-leucyl-L-argininal were inhibitory to thrombin.
AN 1988:200699 CAPLUS
DN 108:200699
TI Protease-inhibitory activities of leupeptin analogs
AU Saino, Tetsushi; Someno, Tetsuya; Ishii, Shinichi; Aoyagi, Takaaki; Umezawa, Hamao
CS Res. Lab., Nippon Kayaku Co., Ltd., Tokyo, 115, Japan
SO Journal of Antibiotics (1988), 41(2), 220-5
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English
IT **83039-65-0 114318-20-6 114332-79-5**
RL: BIOL (Biological study)
(protease inhibition by, other leupeptin analogs comparison with)
RN 83039-65-0 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 114318-20-6 CAPLUS
CN 2-Piperidinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

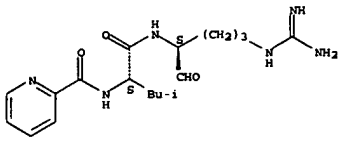
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AB L-Argininal peptides R-X-L-Leu-L-NHCH(CHO)(CH2)3NHC(:NH)NH2 [I; X = CO, SO2; R = alkyl, cycloalkyl, (un)substituted Ph, (un)substituted naphthyl, pyridyl, PhCH2O, furyl, thienyl, pyrrolidinyl, pyrrolidone moiety, piperidinyl [the latter 3 substituted with PhCH2O2C (Z)], R1X1 [X1 = CH(OH), CH(NHZ); R1 = alkyl, Ph, PhCH2, ZNHCH(CH2Ph)]] were prepared as inhibitors of serine and thiol proteases. Thus, H-L-Leu-L-NHCH[CH(OBu)2](CH2)3NHC(:NH)NH2.HCl was condensed with BzOH by di-Ph phosphorylazide in DMF at ambient temperature for 8 h and the resulting product
was hydrolyzed to give I.HCl (RX = Bz) (II). Data are given for the inhibition of papain, trypsin, kallikrein, and plasmin by I, e.g., II inhibited papain with an IC50 of 0.5 mg/mL.
AN 1982:545287 CAPLUS
DN 97:145287
TI L-Argininal derivatives
IN Umezawa, H.; Takeuchi, T.; Aoyagi, T.; Ishii, S.; Saino, T.; Someno, T.
PA Nippon Kayaku Co., Ltd. , Japan
SO Fr. Demande, 31 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	FR 2490632	A1	19820326	FR 1981-17674		19810918
	FR 2490632	B1	19861212			
				JP 1980-129097	A	19800919
	JP 57054157	A2	19820331	JP 1980-129097		19800919
	JP 02000342	B4	19900108			
	US 4401594	A	19830830	US 1981-300443		19810908
				JP 1980-129097	A	19800919
	GB 2086380	A	19820512	GB 1981-28012		19810916
	GB 2086380	B2	19840531			
				JP 1980-129097	A	19800919
	DE 3137280	A1	19820603	DE 1981-3137280		19810918
				JP 1980-129097	A	19800919
	CA 1183130	A1	19850226	CA 1981-386220		19810918
				JP 1980-129097	A	19800919

OS CASREACT 97:145287
IT **83039-50-3P 83039-51-4P 83039-52-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and proteinase-inhibiting activity of)
RN 83039-50-3 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]-, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

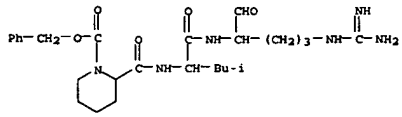
Absolute stereochemistry.

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

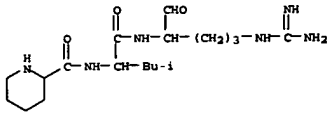
RN 83039-51-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-{[[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]amino]carbonyl}-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 83039-52-5 CAPLUS
CN 2-Piperidinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]-, monohydrochloride (9CI) (CA INDEX NAME)

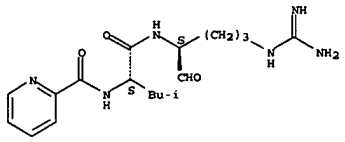
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

IT 83039-65-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 83039-65-0 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



2/3/05

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	44.09	205.63

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.38	-4.38

FILE 'REGISTRY' ENTERED AT 11:20:53 ON 03 FEB 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7
DICTIONARY FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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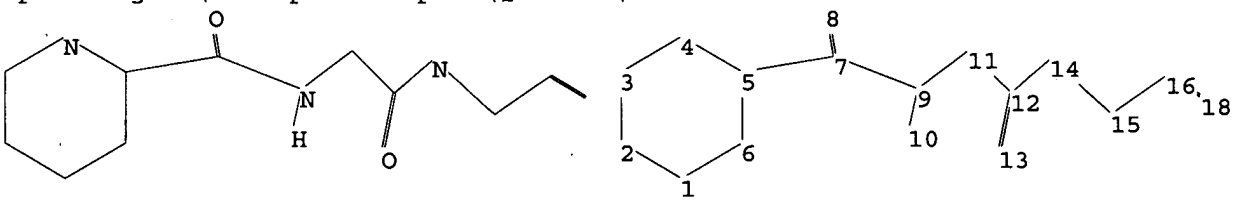

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ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-9 9-10 9-11 11-12 12-13 12-14 14-15 15-16 16-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-9 9-11 12-13 12-14 14-15
exact bonds :
5-7 9-10 11-12 15-16 16-18
isolated ring systems :
containing 1 :

2/3/05

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS

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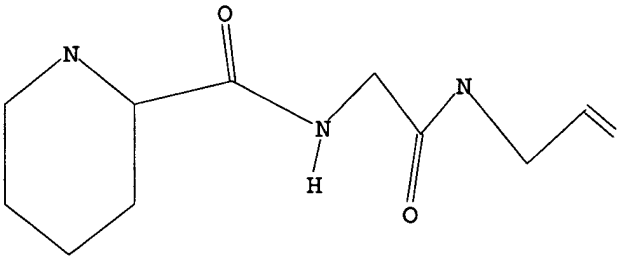
L5 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 11:22:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 370581 TO ITERATE

100.0% PROCESSED 370581 ITERATIONS 41 ANSWERS
SEARCH TIME: 00.00.04

L6 41 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.76	367.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.38

FILE 'CAPLUS' ENTERED AT 11:22:18 ON 03 FEB 2005

2/3/05

FILE COVERS 1907 - 3 Feb 2005 VOL 142 ISS 6
FILE LAST UPDATED: 2 Feb 2005 (20050202/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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'BIB' IS NOT VALID HERE

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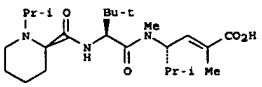
L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q [R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R, where RC is H, OH, CORD, or R and RD is R; R3, R4 are H or R; R5, R6, R7 are H, CORE or R, where RE is H, OH, ORF, or R and RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(aryl), (hetero)alicyclic(heteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where RQ' and RQ'' are H or R or may combine as for R1-R4 or R5-R7 (with provisos)] or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemiasterlin derivative I, were prepared and assayed for inhibition of cell growth. Active compds. were evaluated in the reversibility, MDR, mouse serum stability, and other assays.

AN 2004:999664 CAPLUS
DN 141:395816
TI Preparation of hemiasterlin derivatives as antitumor agents
IN Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris M.; Spyvee, Mark; Yang, Hu
PA USA
SO U.S. Pat. Appl. Publ., 237 pp., Cont.-in-part of Appl. No. PCT/US03/08888.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 2004229819	A1	20041118	US 2003-667864		20030922
				US 2002-366592P	P	20020322
				WO 2003-US8888	A2	20030321
	WO 2003082268	A2	20031009	WO 2003-US8888		20030321
	WO 2003082268	A3	20040923			

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-366592P P 20020322

PATENT FAMILY INFORMATION:

FAN 2003:796473					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082268	A2	20031009	WO 2003-US8888	20030321
	WO 2003082268	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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US 2002-366592P P 20020322
EP 1490054 A2 20041229 EP 2003-726101 20030321

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

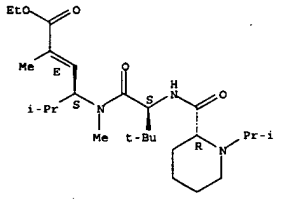
US 2002-366592P P 20020322
WO 2003-US8888 W 20030321
US 2004229819 A1 20041118 US 2003-667864 20030922
US 2002-366592P P 20020322
WO 2003-US8888 A2 20030321

IT **610787-09-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hemiasterlin derivs. as antitumor agents)

RN 610787-09-2 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

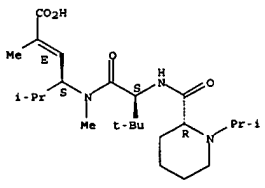
L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT **610787-07-0P 610787-11-6P 610787-20-7P**
610787-22-9P 610787-33-2P 610787-34-3P
610787-35-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hemiasterlin derivs. as antitumor agents)

RN 610787-07-0 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



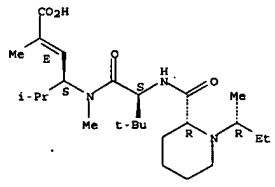
RN 610787-11-6 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-[(1R)-1-methylpropyl]-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-10-5
CMF C25 H45 N3 O4

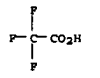
Absolute stereochemistry.

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.



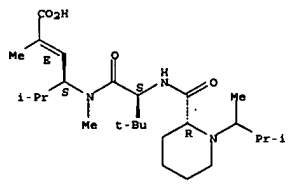
CM 2

CRN 76-05-1
CMF C2 H F3 O2



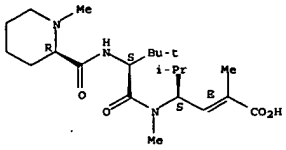
RN 610787-20-7 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-2-[[[(2R)-1-(1,2-dimethylpropyl)-2-piperidinyl]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 610787-22-9 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.
Double bond geometry as shown.

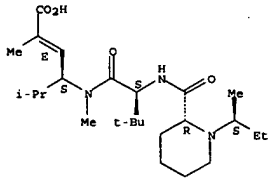


RN 610787-33-2 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-[(1S)-1-methylpropyl]-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-32-1
CMF C25 H45 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

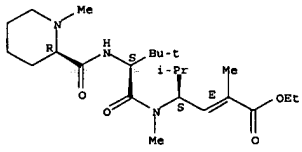
CRN 76-05-1
CMF C2 H F3 O2

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
610787-17-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hemiasterlin derivs. as antitumor agents)
RN 610786-72-6 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610786-71-5
CMF C24 H43 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

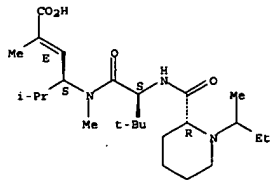
RN 610786-73-7 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, monohydrochloride, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

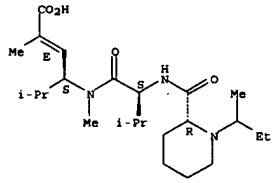
RN 610787-34-3 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



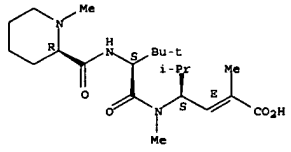
RN 610787-35-4 CAPLUS
CN 2-Hexenoic acid, 2,5-dimethyl-4-[methyl[(2S)-3-methyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]amino]-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 610786-72-6P 610786-73-7P 610786-82-8P

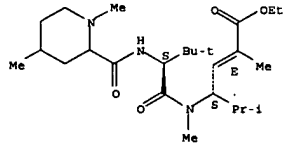
L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 610786-82-8 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-2-[[(1,4-dimethyl-2-piperidinyl)carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



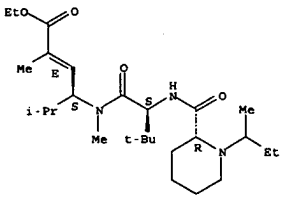
RN 610787-17-2 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-16-1
CMF C27 H49 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



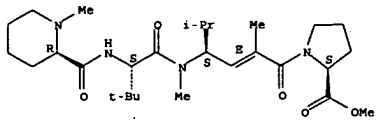
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **610786-74-8P 610786-95-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hemiasterlin derivs. as antitumor agents)
RN 610786-74-8 CAPLUS
CN L-Proline,
(2R)-1-methyl-2-piperidinecarbonyl-3-methyl-L-valyl-(2E,4S)-2,5-dimethyl-4-(methylamino)-2-hexenoyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

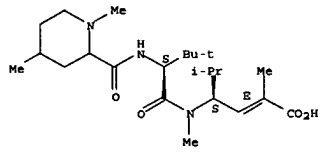
L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 610786-95-3 CAPLUS
CN 2-Hexenoic acid,
4-[[(2S)-2-[[(1,4-dimethyl-2-piperidinyl)carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
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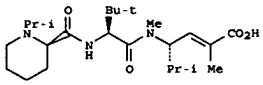

I

AB The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q [R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R; RC is H, OH, ORD, or R; RD is R; R3, R4 are H or R; R5, R6, R7 are H, CORE or R; RE is H, OH, ORF, or R; RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(aryl), (hetero)alicyclic(heteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where RQ' and RQ'' are H or R or may combine as for R1-R4 or R5-R7 (with provisos)] or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemiasterlin derivative I, were prepared and assayed for inhibition of cell growth. Active compds. (IC50 < 20 nM) were evaluated in the reversibility, MDR, and mouse serum stability assays.
AN 2003:796473 CAPLUS
DN 139:308008
TI Preparation of hemiasterlin derivatives as antitumor agents
IN Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris M.; Spyvee, Mark; Yang, Hu
PA Eisai Co. Ltd., Japan
SO PCT Int. Appl., 289 pp.
CODEN: PIXXD2
DT Patent
LA English
PAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2002-366592P	P 20020322
EP 1490054	A2	20041229	EP 2003-726101	20030321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
			US 2002-366592P	P 20020322
			WO 2003-US8888	W 20030321
US 2004229819	A1	20041118	US 2003-667864	20030922
			US 2002-366592P	P 20020322
			WO 2003-US8888	A2 20030321

PATENT FAMILY INFORMATION:

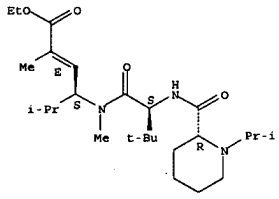
PAN 2004:999664				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004229819	A1	20041118	US 2003-667864	20030922
			US 2002-366592P	P 20020322
			WO 2003-US8888	A2 20030321
WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002-366592P P 20020322

OS MARPAT 139:308008
IT **610787-09-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hemiasterlin derivs. as antitumor agents)
RN 610787-09-2 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

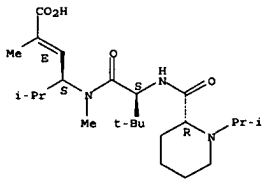
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT **610787-07-0P 610787-11-6P 610787-20-7P**
610787-22-9P 610787-33-2P 610787-34-3P
610787-35-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hemiasterlin derivs. as antitumor agents)
RN 610787-07-0 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



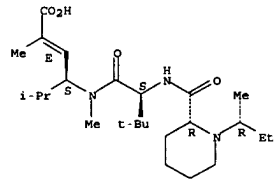
RN 610787-11-6 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-[(1R)-1-methylpropyl]-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-10-5
CMF C25 H45 N3 O4

Absolute stereochemistry.

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.

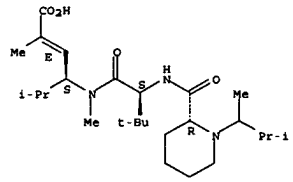


CM 2

CRN 76-05-1
CMF C2 H F3 O2

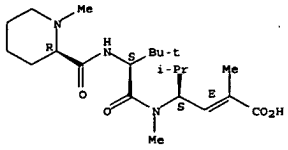
RN 610787-20-7 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-2-[[[(2R)-1-(1,2-dimethylpropyl)-2-piperidinyl]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 610787-22-9 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.
Double bond geometry as shown.

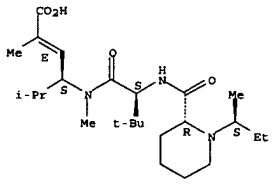


RN 610787-33-2 CAPLUS
CN 2-Hexenoic acid,
4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-[(1S)-1-methylpropyl]-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-32-1
CMF C25 H45 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

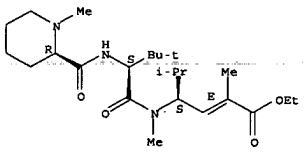
CRN 76-05-1
CMF C2 H F3 O2

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
610787-17-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hemiasterlin derivs. as antitumor agents)
RN 610786-72-6 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610786-71-5
CMF C24 H43 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

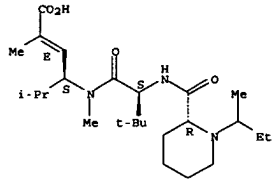
RN 610786-73-7 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, monohydrochloride, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

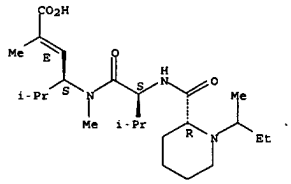
RN 610787-34-3 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



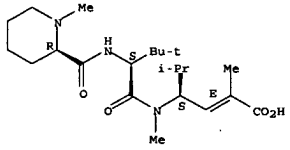
RN 610787-35-4 CAPLUS
CN 2-Hexenoic acid, 2,5-dimethyl-4-[methyl[(2S)-3-methyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]amino]-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 610786-72-6P 610786-73-7P 610786-82-8P

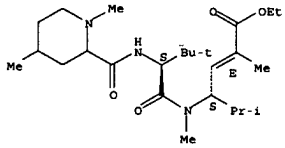
L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 610786-82-8 CAPLUS
CN 2-Hexenoic acid,
4-[[(2S)-2-[[(1,4-dimethyl-2-piperidinyl)carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



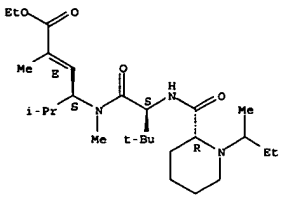
RN 610787-17-2 CAPLUS
CN 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-16-1
CMF C27 H49 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



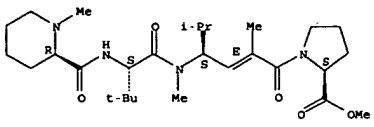
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **610786-74-8P 610786-95-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hemiasterlin derivs. as antitumor agents)
RN 610786-74-8 CAPLUS
CN L-Proline,
(2R)-1-methyl-2-piperidinecarbonyl-3-methyl-L-valyl-(2E,4S)-2,5-dimethyl-4-(methylamino)-2-hexenoyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

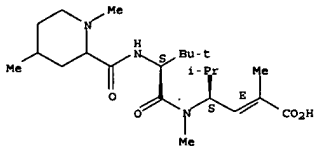
L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



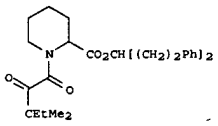
● HCl

RN 610786-95-3 CAPLUS
CN 2-Hexenoic acid,
4-[[(2S)-2-[[(1,4-dimethyl-2-piperidinyl)carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Pipecolic acid derivs. are prepared for treating vision disorders, improving vision, treating memory impairment, or enhancing memory performance in an animal. These compds. bind to immunophilin FKBP12 and preferably do not have immunosuppressive activity. Affinity for FKBP12 is measured as inhibition of prolyl peptidyl cis-trans isomerase (rotamase). Thus, pipecolic acid ester I inhibited rotamase with a Ki of 20 nM, showed a clearance rate of 41.8 μL/min, and rescued 56.6% of optic nerve axons from degeneration 14 days after optic nerve transection in rats (dose and route of administration not stated).
AN 2000:133482 CAPLUS
DN 132:175851
TI Pipecolic acid derivatives for vision and memory disorders
IN Ross, Douglas T.; Sauer, Hansjorg; Hamilton, Gregory S.; Steiner, Joseph P.
PA Guilford Pharmaceuticals Inc., USA
SO PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

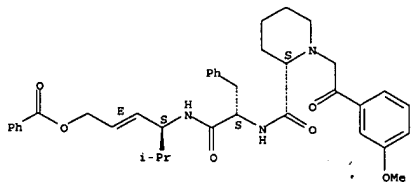
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000009109	A2	20000224	WO 1999-US18242	19990812
WO 2000009109	A3	20000817		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			US 1998-134417	A 19980814
US 6376517	B1	20020423	US 1998-134417	19980814
CA 2344520	AA	20000224	CA 1999-2344520	19990812
			US 1998-134417	A 19980814
			WO 1999-US18242	W 19990812
AU 9955557	A1	20000306	AU 1999-55557	19990812
			US 1998-134417	A 19980814
			WO 1999-US18242	W 19990812
EP 1109554	A2	20010627	EP 1999-942109	19990812

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

			US 1998-134417	A 19980814
			WO 1999-US18242	W 19990812
JP 2002522485	T2	20020723	JP 2000-564612	19990812
			US 1998-134417	A 19980814
			WO 1999-US18242	W 19990812

IT **145021-65-4 145021-66-5 145021-67-6**
145021-68-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(pipecolic acid derivs. for vision and memory disorders)
RN 145021-65-4 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

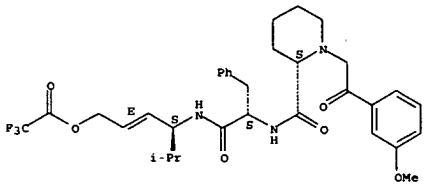
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-,
(2E,4S)-4-[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

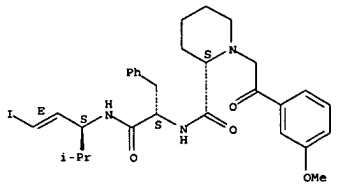
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-67-6 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

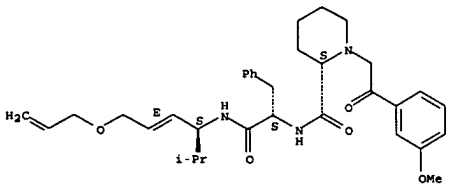
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-68-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AB This invention relates to pharmaceutical compns. and methods for treating alopecia and promoting hair growth using pipecolic acid derivs. Thus, a hair lotion contained 95% EtOH, a pipecolic acid derivative such as 4-(4-methoxyphenyl)butyl 1-(2-oxo-2-phenylacetyl)-2-piperidinecarboxylate 10.0, α-tocopherol acetate 0.01, ethoxylateed hardened castor oil 0.5, and water 9.0%, and perfume and dye.

AN 1999:783903 CAPLUS
DN 132:26633
TI Pipecolic acid derivatives for hair growth compositions
IN Hamilton, Gregory S.; Steiner, Joseph P.
PA Guilford Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

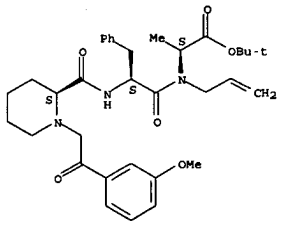
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9962483	A1	19991209	WO 1998-US11242	19980603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2333698	AA	19991209	CA 1998-2333698	19980603
			WO 1998-US11242 A	19980603
AU 9877167	A1	19991220	AU 1998-77167	19980603
AU 761083	B2	20030529		
			WO 1998-US11242 A	19980603
EP 1083872	A1	20010321	EP 1998-925152	19980603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			WO 1998-US11242 W	19980603
JP 2002516839	T2	20020611	JP 2000-551739	19980603
			WO 1998-US11242 W	19980603

IT **252002-98-5 252002-99-6 252003-00-2 252003-01-3 252003-02-4**
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pipecolic acid derivs. for hair growth compns.)

RN 252002-98-5 CAPLUS
CN L-Alanine, (2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinecarbonyl-L-phenylalanyl-N-2-propenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

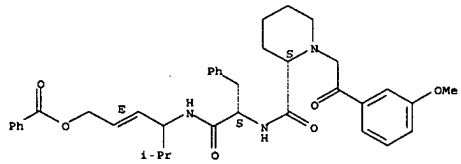
Absolute stereochemistry.

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 252002-99-6 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

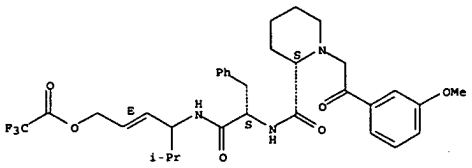
Absolute stereochemistry.
Double bond geometry as shown.



RN 252003-00-2 CAPLUS
CN Acetic acid, trifluoro-, (2E)-4-[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

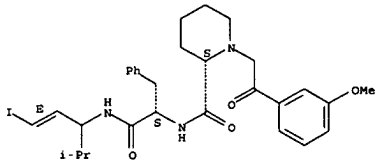
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



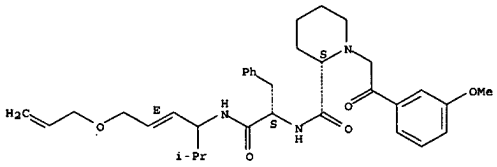
RN 252003-01-3 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 252003-02-4 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[(2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AB Rotamase or peptidyl-prolyl isomerase inhibitors e.g. neurotrophic pipecolinic acid derivs. (including FK506, Way 124666, Rapamycin, SLB 506, etc.) with FKBP-type immunophilin affinity are claimed for stimulating nerve growth and regeneration after nerve injury in treatment of neurol. diseases e.g. Alzheimer's disease, parkinsonism, muscle atrophy, etc. The effects of these inhibitors were comparable to that of nerve growth factor.

AN 1997:165074 CAPLUS
DN 126:152815
TI Rotamase inhibitors for treatment of neurological diseases
IN Steiner, Joseph P.; Synder, Solomon; Hamilton, Gregory S.
PA Guilford Pharmaceuticals, Inc., USA; Johns Hopkins University School of Medicine
SO Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 08333256	A2	19961217	JP 1996-132866	19960430
JP 3060373	B2	20000710		
			US 1995-474072 A	19950607
US 5798355	A	19980825	US 1995-474072	19950607
CN 1187127	A	19980708	CN 1996-194555	19960605
			US 1995-474072 A	19950607
LT 4516	B	19990625	LT 1998-2	19980106
			US 1995-474072 A	19950607

PATENT FAMILY INFORMATION:
FAN 1997:151523

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9640140	A1	19961219	WO 1996-US9561	19960605
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			US 1995-474072 A2	19950607
AU 9661622	A1	19961230	AU 1996-61622	19960605
AU 710423	B2	19990923		
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			US 1995-474072 A	19950607
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L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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FAN 1998:17977

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L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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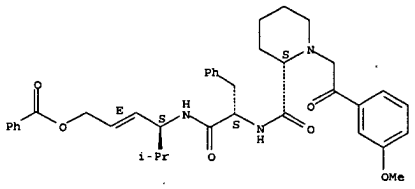
IT **145021-65-4 145021-66-5 145021-67-6**
145021-68-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES
(Uses)
(rotamase inhibitors for treatment of neurol. diseases)

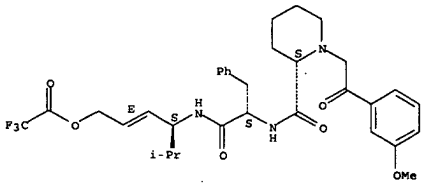
RN 145021-65-4 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-, (2E,4S)-4-[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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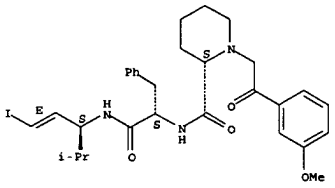
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

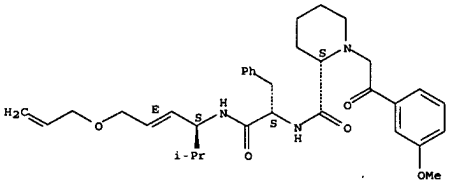
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-68-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AB Neurotrophic pipecolic acid derivs. having an affinity for FKBP-type immunophilins are useful as inhibitors of the enzyme activity associated with immunophilin proteins, and in particular inhibitors of peptidyl-prolyl isomerase or rotamase enzyme activity, to stimulate or promote neuronal growth or regeneration. The compds, of the invention (e.g. Way-124,666; SLB-506) are useful for the treatment of neurol. disorders. The compds. may be used in conjunction with a neurotrophic factor (neurotrophic growth factor, brain-derived growth factor, neurotrophin-3, etc.).

AN 1997:151523 CAPLUS

DN 126:152817

TI Pipecolic acid derivatives as inhibitors of rotamase activity, and use in treatment of nervous system disorders.

IN Steiner, Joseph P.; Snyder, Solomon; Hamilton, Gregory S.

PA Guilford Pharmaceuticals Inc., USA; Johns Hopkins University School of Medicine

SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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PATENT FAMILY INFORMATION:

FAN 1997:165074

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L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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				US 1997-787162	A1 19970123
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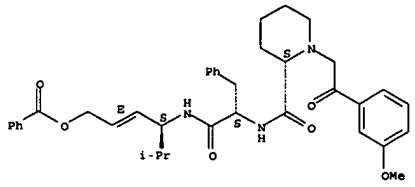
				US 1995-474072	A 19950607
				US 1996-653905	A 19960528
				WO 1996-US9561	W 19960605
	AU 9948793	A1	19991125	AU 1999-48793	19990916
	AU 740089	B2	20011101		
				US 1995-474072	A 19950607
				US 1996-653905	A 19960528
				AU 1996-61622	A3 19960605
	US 2002052372	A1	20020502	US 1999-435323	19991105
				US 1995-474072	A2 19950607
				US 1996-653905	A1 19960528
				US 1997-787162	A1 19970123
				US 1998-113330	A1 19980710
	US 2003114365	A1	20030619	US 2002-228312	20020827
				US 1995-474072	A2 19950607
				US 1996-653905	A1 19960528
				US 1997-787162	A1 19970123
				US 1998-113330	A1 19980710
				US 1999-435323	A3 19991105

IT 145021-65-4 145021-66-5 145021-67-6
145021-68-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pipecolic acid derivs. as inhibitors of rotamase activity, and use in

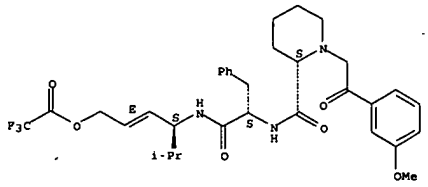
L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
treatment of nervous system disorders.)
RN 145021-65-4 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-, (2E,4S)-4-[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

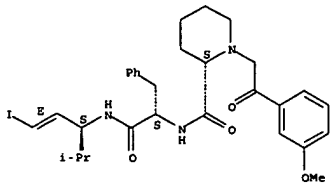
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-67-6 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

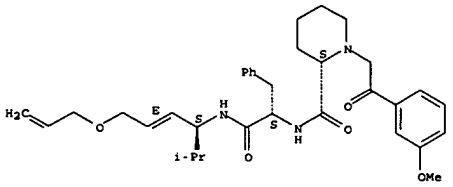
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

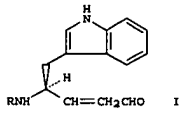


RN 145021-68-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB The present invention relates to acylaminoaldehyde compds. of formula R4-Q-NHCHR1-X-CHO [Q = one or two amino acid residual groups which may be substituted; R1 = hydrogen atom or an optionally substituted hydrocarbon or heterocyclic group; R4 = an optionally esterified carboxyl group or an acyl group; X = a optionally substituted straight-chain or branched divalent hydrocarbon group having a chain length of 1 to 4 atoms as the linear moiety], or salts thereof, which have strong cysteine protease inhibitory activities and are useful as prophylactic and therapeutic agent of various diseases, including bone diseases, caused by abnormal exasperation of cystine protease, are prepared Thus, 2.4 g N-tert-butoxycarbonyl-L-phenylalanyl-L-tryptophanal and 1.76 g (formylmethylene)triphenylphosphorane were dissolved in 10 mL THF and 30 mL toluene and stirred for 15 h to give the title compound (I; R = Boc-Phe). The latter compound and I (R = PhCH2O2C-Leu-Leu) (II) in vitro showed IC50 of 3.5 + 10-8 and 9.7 + 10-9 M, resp., against cathepsin L and that of 2.4 + 10-6 and 9.7 + 10-7 M, resp., against cathepsin B, resp. In a bone resorption inhibitory assay, they in vitro inhibited by 83 and 51%, resp., the Ca release from fetal rat's forearm bones. A gelatin capsule formulation containing II was described.
AN 1996:443908 CAPLUS
DN 125:115147
TI Preparation of peptide aldehyde derivatives as cysteine protease inhibitors
IN Sohda, Takashi; Fujisawa, Yukio; Yasuma, Tsuneo; Mizoguchi, Junji
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

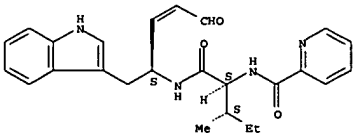
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9610014	A1	19960404	WO 1995-JP1933	19950925
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			JP 1994-231839	A 19940927

L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

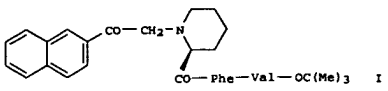
CA 2196182	AA	19960404	CA 1995-2196182	19950925
			JP 1994-231839	A 19940927
AU 9535341	A1	19960419	AU 1995-35341	19950925
			JP 1994-231839	A 19940927
			WO 1995-JP1933	W 19950925
JP 08151355	A2	19960611	JP 1995-245957	19950925
			JP 1994-231839	A1 19940927
EP 783489	A1	19970716	EP 1995-932228	19950925
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
			JP 1994-231839	A 19940927
			WO 1995-JP1933	W 19950925

OS MARPAT 125:115147
IT 178910-84-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide aldehyde derivs. as cysteine protease inhibitors and bone resorption inhibitors for treating bone diseases)
RN 178910-84-4 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-[[[1-(1H-indol-3-ylmethyl)-4-oxo-2-butenyl]amino]carbonyl]-2-methylbutyl]-, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI

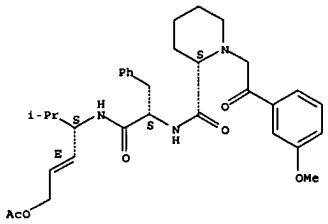


I

AB I is the most potent synthetic multidrug resistance (MDR) modulator of a series of compds. and is equivalent in potency to FK506, however, it is a thousand-fold less potent than FK506 vs. PKBP inhibition. It is apparent that the structure component of the FK506 mol. that imparts functional immunosuppressive activity is not required for useful P-glycoprotein inhibition, since the synthetic FKBP inhibitors lack the structural element which impart functional activity.
AN 1995:89458 CAPLUS
DN 122:45705
TI Investigation of the effects of synthetic, non-cytotoxic immunophilin inhibitors on MDR
AU Hauske, James R.; Kajiji, Shama; Dorff, Peter; Julin, Susan; DiBrino, Joseph; Paillet, Simone
CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SO Bioorganic & Medicinal Chemistry Letters (1994), 4(17), 2097-102
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
IT **145021-58-5 145021-66-5 145021-67-6 145021-68-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthetic noncytotoxic immunophilin inhibitors effect on multidrug resistance)
RN 145021-58-5 CAPLUS
CN 2-Piperidinecarboxamide, N-[2-[[4-(acetyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, [2S-[2R*[R*(1R*,2E)]]]- (9CI) (CA INDEX NAME)

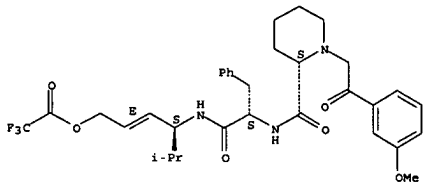
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-, (2E,4S)-4-[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

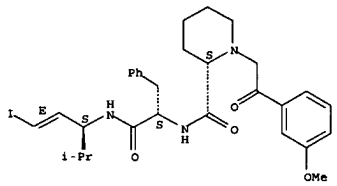
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-67-6 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

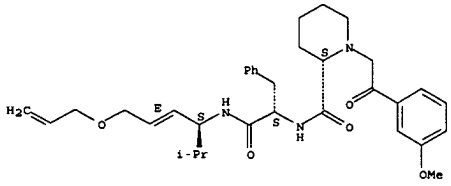
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

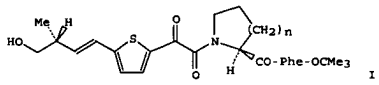


RN 145021-68-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

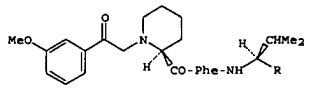
Absolute stereochemistry.
Double bond geometry as shown.



L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

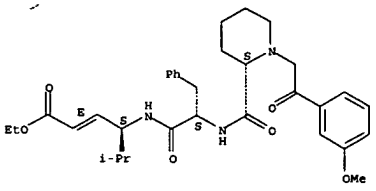


II

AB Small mol. FK-506 binding protein (FKBP) inhibitors, e.g. I (n = 1, 2) and II (R = CO-Phe-OMe, trans-CH:CHCH2O2CCF3, trans-CH:CICH2O2CCF3) were prepared with inhibitory activity ranging from micromolar to nanomolar. The design of these inhibitors derives from a structural anal. of the substrates for FKBP and cyclophilin. As a consequence of this anal. two key observations were made, namely: (1) aminoketone moieties are suitable as FKBP recognition elements at the P1-P12 site, and (2) the P32-P42 site will accept a trans-olefin as a suitable mimetic of a peptide moiety. The preparation of these nonpeptide inhibitors is readily accomplished by a protocol which includes the synthesis of chiral propargylic amines and their subsequent conversion into vinyl zirconium reagents.
AN 1993:22591 CAPLUS
DN 118:22591
TI Design and synthesis of novel FKBP inhibitors
AU Hauske, James R.; Dorff, Peter; Julin, Susan; DiBrino, Joseph; Spencer, Robin; Williams, Rebecca
CS Cent. Res., Div. Pfizer Inc., Groton, CT, 06340, USA
SO Journal of Medicinal Chemistry (1992), 35(23), 4284-96
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
IT **145021-57-4P 145021-58-5P 145021-59-6P 145021-60-9P 145021-61-0P 145021-62-1P 145021-63-2P 145021-64-3P 145021-65-4P 145021-66-5P 145021-67-6P 145021-68-7P 145108-13-0P 145108-14-1P 145108-15-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and FK-506 binding protein inhibitory activity of)
RN 145021-57-4 CAPLUS
CN 2-Hexenoic acid, 4-[[2-[[[1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-, ethyl ester, [2S-[2R*[R*(2E,4R*)]]]- (9CI) (CA INDEX NAME)

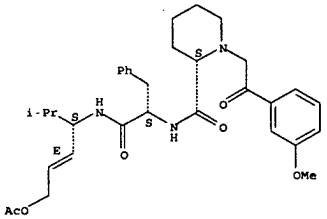
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-58-5 CAPLUS
CN 2-Piperidinecarboxamide, N-[2-[[4-(acetyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, [2S-[2R*[R*(1R*,2E)]]]- (9CI) (CA INDEX NAME)

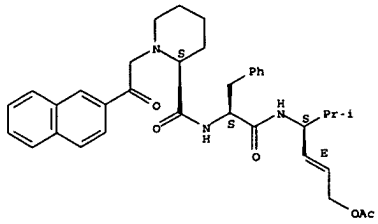
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-59-6 CAPLUS
CN 2-Piperidinecarboxamide, N-[2-[[4-(acetyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(2-naphthalenyl)-2-oxoethyl]-, [2S-[2R*[R*(1R*,2E)]]]- (9CI) (CA INDEX NAME)

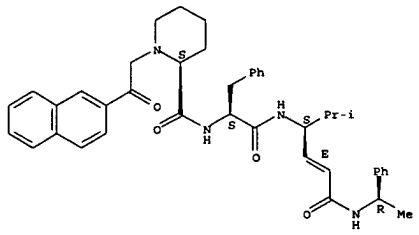
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-60-9 CAPLUS
CN 2-Piperidinecarboxamide, N-[2-[[1-(1-methylethyl)-4-oxo-4-[(1-phenylethyl)amino]-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(2-naphthalenyl)-2-oxoethyl]-, [2S-[2R*[R*[1R*,2E,4(S*)]]]]- (9CI) (CA INDEX NAME)

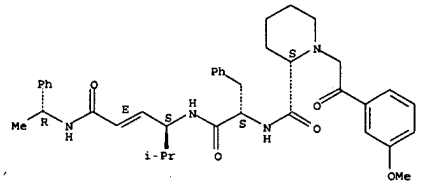
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-61-0 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-4-oxo-4-[(1-phenylethyl)amino]-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*[1R*,2E,4(S*)]]]]- (9CI) (CA INDEX NAME)

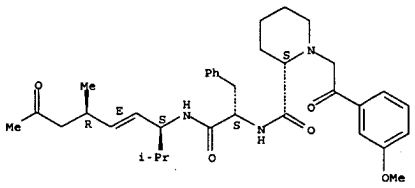
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-62-1 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[4-methyl-1-(1-methylethyl)-6-oxo-2-heptenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*(1R*,2E,4S*)]]]- (9CI) (CA INDEX NAME)

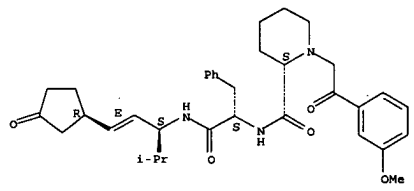
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-63-2 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-3-(3-oxocyclopentyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*[1R*,2E,3(S*)]]]]- (9CI) (CA INDEX NAME)

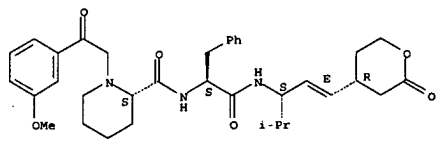
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-64-3 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-3-(tetrahydro-2-oxo-2H-pyran-4-yl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*[1R*,2E,3(S*)]]]]- (9CI) (CA INDEX NAME)

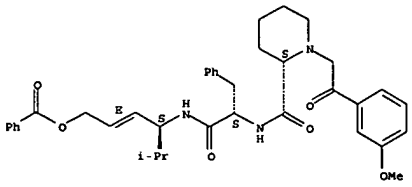
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-65-4 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

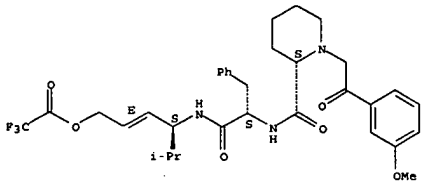
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-, (2E,4S)-4-[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

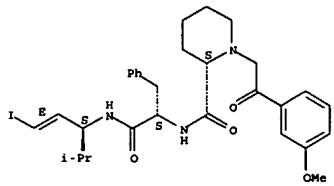
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-67-6 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

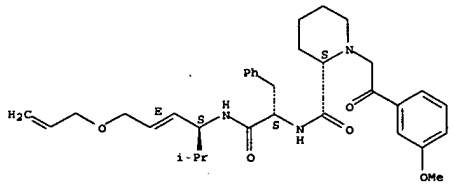
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-68-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

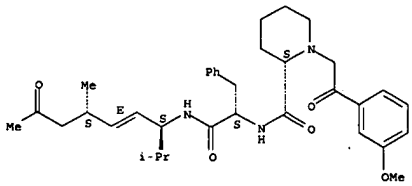
Absolute stereochemistry.
Double bond geometry as shown.



RN 145108-13-0 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[4-methyl-1-(1-methylethyl)-6-oxo-2-heptenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*(1R*,2E,4R*)]]]- (9CI) (CA INDEX NAME)

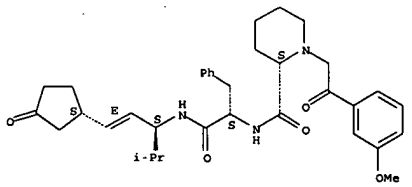
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145108-14-1 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-3-(3-oxocyclopentyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*[1R*,2E,3(R*)]]]]- (9CI) (CA INDEX NAME)

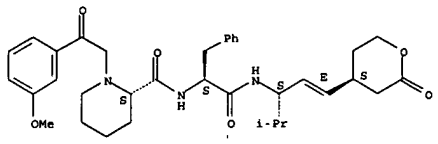
Absolute stereochemistry.
Double bond geometry as shown.



RN 145108-15-2 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-3-(tetrahydro-2-oxo-2H-pyran-4-yl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*[1R*,2E,3(R*)]]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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